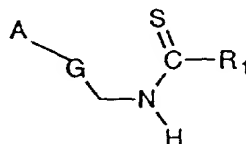


WHAT IS CLAIMED IS:

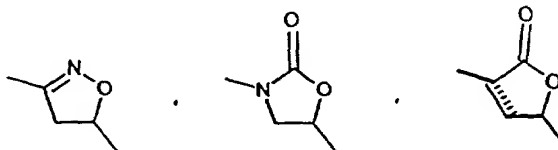
1. A method of treating or preventing osteoporosis, bone resorption or other bone disease in a vertebrate mammal, comprising the step of administering to a mammal in need of such treatment, an effective amount of a compound of formula I



I

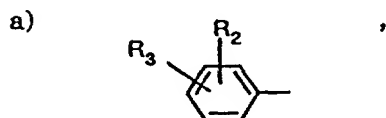
or pharmaceutical acceptable salts thereof wherein:

G is

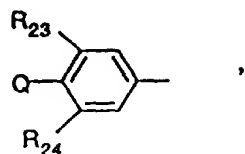
 R_1 is

- a) H,
 b) NH_2 ,
 c) NH-C_{1-4} alkyl,
 d) C_{1-4} alkyl,
 e) $-\text{OC}_{1-4}$ alkyl,
 f) $-\text{S C}_{1-4}$ alkyl,
 g) C_{1-4} alkyl substituted with 1-3 F, 1-2 Cl, CN or $-\text{COOC}_{1-4}$ alkyl,
 h) C_{3-6} cycloalkyl,
 i) $\text{N}(\text{C}_{1-4} \text{ alkyl})_2$ or
 j) $\text{N}(\text{CH}_2)_{2-6}$;

A is

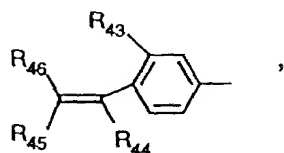


b)



5

c)



10

d)

a 5-membered heteroaromatic moiety having one to three atoms selected from the group consisting of S, N, and O,

wherein the 5-membered heteroaromatic moiety is bonded via a carbon atom,

wherein the 5-membered heteroaromatic moiety can additionally have

15 a fused-on benzene or naphthyl ring,

wherein the heteroaromatic moiety is optionally substituted with one to three R₄₈,

e)

a 6-membered heteroaromatic moiety having at least one nitrogen atom,

20

wherein the heteroaromatic moiety is bonded via a carbon atom,

wherein the 6-membered heteroaromatic moiety can additionally have a fused-on benzene or naphthyl ring,

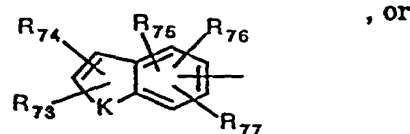
25

wherein the heteroaromatic moiety is optionally substituted with one to three R₆₅,

f)

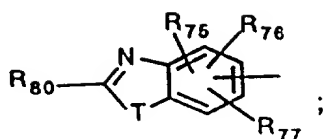
a β -carbolin-3-yl, or indolizinyll bonded via the 6-membered ring, optionally substituted with one to three R₆₅,

g)



30

h)



5 wherein R_2 is

- a) H,
- b) F,
- c) Cl,
- d) Br,
- e) C_{1-3} alkyl,
- 10 f) NO_2 , or
- g) R_2 and R_3 taken together are $-O-(CH_2)_h-O-$;

R_3 is

- a) $-S(=O)_i R_4$,
- b) $-S(=O)_2-N=S(O)_j R_5 R_6$,
- 15 c) $-SC(=O)R_7$,
- d) $-C(=O)R_8$,
- e) $-C(=O)R_9$,
- f) $-C(=O)NR_{10}R_{11}$,
- g) $-C(=NR_{12})R_8$,
- 20 h) $-C(R_8)(R_{11})-OR_{13}$,
- i) $-C(R_9)(R_{11})-OR_{13}$,
- j) $-C(R_8)(R_{11})-OC(=O)R_{13}$,
- k) $-C(R_9)(R_{11})-OC(=O)R_{13}$,
- l) $-NR_{10}R_{11}$,
- m) $-N(R_{10})-C(=O)R_7$,
- 25 n) $-N(R_{10})-S(=O)_i R_7$,
- o) $-C(OR_{14})(OR_{15})R_8$,
- p) $-C(R_8)(R_{16})-NR_{10}R_{11}$, or
- q) C_{1-8} alkyl substituted with one or more $=O$ other than at alpha position, $-S(=O)_i R_{17}$, $-NR_{10}R_{11}$, C_{2-5} alkenyl, or C_{2-5} alkynyl;

30 R_4 is

- a) C_{1-4} alkyl optionally substituted with one or more halos, OH, CN, $NR_{10}R_{11}$, or $-CO_2R_{13}$,
- b) C_{2-4} alkenyl,

- c) $-\text{NR}_{16}\text{R}_{18}$,
 d) $-\text{N}_9$,
 e) $-\text{NHC}(=\text{O})\text{R}_7$,
 f) $-\text{NR}_{20}\text{C}(=\text{O})\text{R}_7$,
 g) $-\text{N}(\text{R}_{19})_2$,
 h) $-\text{NR}_{16}\text{R}_{19}$, or
 i) $-\text{NR}_{19}\text{R}_{20}$,

R_6 and R_6 at each occurrence are the same or different and are

- a) C_{1-2} alkyl, or
 b) R_5 and R_6 taken together are $-(\text{CH}_2)_k$;

10 R_7 is C_{1-4} alkyl optionally substituted with one or more halos;

R_8 is

- a) H, or
 b) C_{1-8} alkyl optionally substituted with one or more halos, or C_{3-8} cycloalkyl;

R_9 is C_{1-4} alkyl substituted with one or more

15

- a) $-\text{S}(=\text{O})\text{R}_{17}$,
 b) $-\text{OR}_{13}$,
 c) $-\text{OC}(=\text{O})\text{R}_{13}$,
 d) $-\text{NR}_{10}\text{R}_{11}$, or
 e) C_{1-5} alkenyl optionally substituted with CHO;

20 R_{10} and R_{11} at each occurrence are the same or different and are

- a) H,
 b) C_{1-4} alkyl, or
 c) C_{3-8} cycloalkyl;

R_{12} is

25

- a) $-\text{NR}_{10}\text{R}_{11}$,
 b) $-\text{OR}_{10}$; or
 c) $-\text{NHC}(=\text{O})\text{R}_{10}$;

R_{13} is

- a) H, or
 b) C_{1-4} alkyl;

30

R_{14} and R_{15} at each occurrence are the same or different and are

- a) C_{1-4} alkyl, or
 b) R_{14} and R_{15} taken together are $-(\text{CH})_1$;

R_{16} is

- a) H,
- b) C_{1-4} alkyl, or
- c) C_{3-8} cycloalkyl;

 R_{17} is

- a) C_{1-4} alkyl, or
- b) C_{3-8} cycloalkyl;

 R_{18} is

- a) H,
- b) C_{1-4} alkyl,
- c) C_{2-4} alkenyl,
- d) C_{3-4} cycloalkyl,
- e) $-OR_{13}$ or
- f) $-NR_{21}R_{22}$;

 R_{19} is

- a) Cl,
- b) Br, or
- c) I;

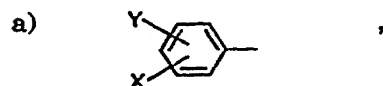
 R_{20} is a physiologically acceptable cation; R_{21} and R_{22} at each occurrence are the same or different and are

- a) H,
- b) C_{1-4} alkyl, or
- c) $-NR_{21}R_{22}$ taken together are $-(CH_2)_m$;

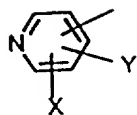
wherein R_{23} and R_{24} at each occurrence are the same or different and are

- a) H,
- b) F,
- c) Cl,
- d) C_{1-2} alkyl,
- e) CN
- f) OH,
- g) C_{1-2} alkoxy,
- h) nitro, or
- i) amino;

Q is



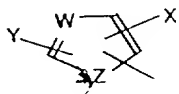
b)



,

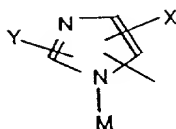
5

c)



,

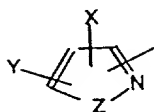
d)



,

10

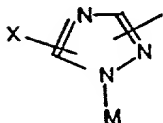
e)



,

15

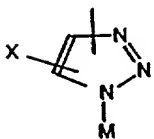
f)



,

20

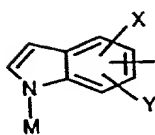
g)



,

25

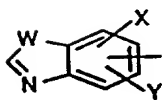
h)



,

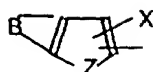
30

i)



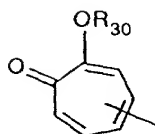
,

j)



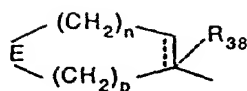
5

k)



10

l)



m)

a diazinyl group optionally substituted with X and Y,

n)

a triazinyl group optionally substituted with X and Y,

o)

a quinolinyl group optionally substituted with X and Y,

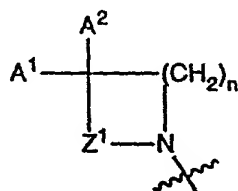
p)

a quinoxaliny group optionally substituted with X and Y,

q)

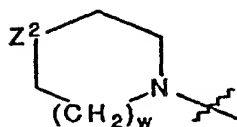
a naphthyridinyl group optionally substituted with X and Y,

r)



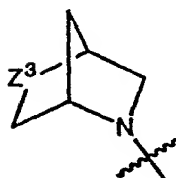
20

s)



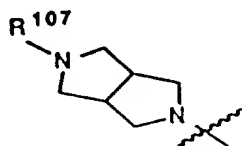
25

t)



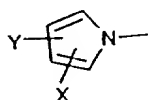
30

u)



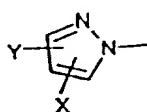
5

v)

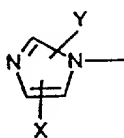


10

w)

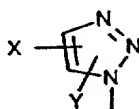


x)



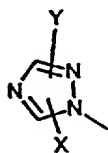
15

y)



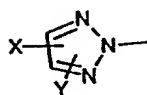
20

z)



25

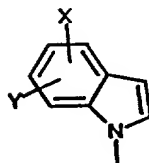
aa)



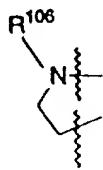
30

bb)

or,



Q and R₂₄ taken together are



5

wherein Z¹ is

- a) -CH₂-,
- b) -CH(R¹⁰⁴)-CH₂-,
- c) -C(O)-, or
- d) -CH₂CH₂CH₂-;

10

wherein Z² is

- a) -O₂S-,
- b) -O-,
- c) -N(R¹⁰⁷)-,
- d) -OS-, or
- e) -S-;

15

wherein Z³ is

- a) -O₂S-,
- b) -O-,
- c) -OS-, or
- d) -S-;

20

wherein A¹ is

- a) H-, or
- b) CH₃;

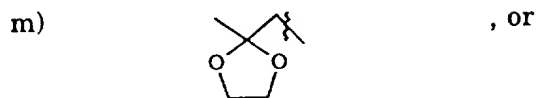
wherein A² is

25

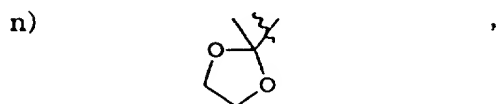
- a) H-,
- b) HO-,
- c) CH₃-,
- d) CH₃O-,
- e) R¹⁰²O-CH₂-C(O)-NH-
- f) R¹⁰³O-C(O)-NH-,
- g) (C₁-C₂)alkyl-O-C(O)-,
- h) HO-CH₂-,
- i) CH₃O-NH-,
- j) (C₁-C₃)alkyl-O₂C-

30

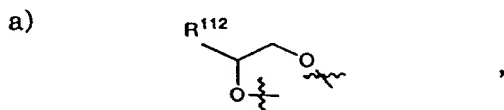
- k) $\text{CH}_3\text{-C(O)-}$,
 l) $\text{CH}_3\text{-C(O)-CH}_2\text{-}$,



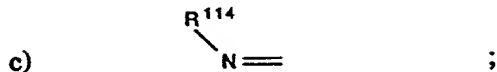
5



10 A^1 and A^2 taken together are:



15



20

wherein R^{102} is

- a) H- ,
 b) $\text{CH}_3\text{-}$,
 c) phenyl- $\text{CH}_2\text{-}$, or
 d) $\text{CH}_3\text{C(O)-}$;

25

wherein R^{103} is

- a) $(\text{C}_1\text{-C}_3)\text{alkyl-}$, or
 b) phenyl-;

wherein R^{104} is

- a) H- , or
 b) HO- ;

30

wherein R^{105} is

- a) H- ,
 b) $(\text{C}_1\text{-C}_3)\text{alkyl-}$,

c) $\text{CH}_2 = \text{CH}-\text{CH}_2-$, or

d) $\text{CH}_3-\text{O}-(\text{CH}_2)_2-$;

wherein R^{106} is

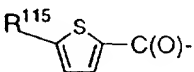
a) $\text{CH}_3-\text{C}(\text{O})-$,

b) $\text{H}-\text{C}(\text{O})-$,

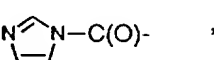
c) $\text{Cl}_2\text{CH}-\text{C}(\text{O})-$,

d) $\text{HOCH}_2-\text{C}(\text{O})-$,

e) CH_3SO_2- ,

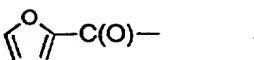
f)  ,

g) $\text{F}_2\text{CHC}(\text{O})-$,

h)  ,

i) $\text{H}_3\text{C}-\text{C}(\text{O})-\text{O}-\text{CH}_2-\text{C}(\text{O})-$,

j) $\text{H}-\text{C}(\text{O})-\text{O}-\text{CH}_2-\text{C}(\text{O})-$,

k)  ,

l) $\text{HC}\equiv\text{C}-\text{CH}_2\text{O}-\text{CH}_2-\text{C}(\text{O})-$, or


m) $\text{phenyl}-\text{CH}_2-\text{O}-\text{CH}_2-\text{C}(\text{O})-$;

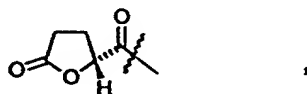
wherein R^{107} is

a) $\text{R}^{102}\text{O}-\text{C}(\text{R}^{110})(\text{R}^{111})-\text{C}(\text{O})-$,

b) $\text{R}^{103}\text{O}-\text{C}(\text{O})-$,

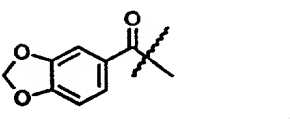
c) $\text{R}^{108}-\text{C}(\text{O})-$,

d)  ,

e)  ,

f) $\text{H}_3\text{C}-\text{C}(\text{O})-(\text{CH}_2)_2-\text{C}(\text{O})-$,

g) $\text{R}^{109}-\text{SO}_2-$,

h)  ,

- i) $\text{HO-CH}_2\text{-C(O)-}$,
- j) $\text{R}^{116}\text{-(CH}_2\text{)}_2\text{-}$,
- k) $\text{R}^{113}\text{-C(O)-O-CH}_2\text{-C(O)-}$,
- l) $\text{(CH}_3\text{)}_2\text{N-CH}_2\text{-C(O)-NH-}$,

- 5 m) $\text{NC-CH}_2\text{-}$,
- n) $\text{F}_2\text{-CH-CH}_2\text{-}$, or
- o) $\text{R}^{150}\text{R}^{151}\text{NSO}_2$

wherein R^{108} is

- a) H- ,
- b) $\text{(C}_1\text{-C}_4\text{)alkyl}$,
- 10 c) $\text{aryl -(CH}_2\text{)}_p\text{-}$,
- d) $\text{ClH}_2\text{C-}$,
- e) $\text{Cl}_2\text{HC-}$,
- f) $\text{FH}_2\text{C-}$,
- g) $\text{F}_2\text{HC-}$,
- 15 h) $\text{(C}_3\text{-C}_6\text{)cycloalkyl}$, or
- i) $\text{CNCH}_2\text{-}$.

wherein R^{109} is

- a) $\text{alkylC}_1\text{-C}_4\text{-}$,
- b) $\text{-CH}_2\text{Cl}$
- c) $\text{-CH}_2\text{CH=CH}_2\text{-}$,
- 20 d) aryl , or
- e) $\text{-CH}_2\text{CN}$;

wherein R^{110} and R^{111} are independently

- a) H- ,
- b) $\text{CH}_3\text{-}$; or

25 wherein R^{112} is

- a) H- ,
- b) $\text{CH}_3\text{O-CH}_2\text{O-CH}_2\text{-}$, or
- c) $\text{HOCH}_2\text{-}$;

wherein R^{113} is

- 30 a) $\text{CH}_3\text{-}$,
- b) $\text{HOCH}_2\text{-}$,
- c) $\text{(CH}_3\text{)}_2\text{N-phenyl}$, or
- d) $\text{(CH}_3\text{)}_2\text{N-CH}_2\text{-}$;

wherein R^{114} is

- a) HO-,
- b) CH_3O -,
- c) H_2N -,
- d) $CH_3O-C(O)-O$ -,
- 5 e) $CH_3-C(O)-O-CH_2-C(O)-O$ -,
- f) phenyl- $CH_2-O-CH_2-C(O)-O$ -,
- g) $HO-(CH_2)_2-O$ -,
- h) $CH_3O-CH_2-O-(CH_2)_2-O$ -, or
- i) CH_3O-CH_2-O -, wherein R^{113} is
- a) CH_3 -,
- 10 b) $HOCH_2$ -,
- c) $(CH_3)_2N$ -phenyl, or
- d) $(CH_3)_2N-CH_2$ -;

wherein R^{115} is

- a) H-, or
- 15 b) Cl-;

wherein R^{116} is

- a) HO-
- b) CH_3O -, or
- c) F;

20 wherein R^{150} and R^{151} are each H or alkyl C_1-C_4 or R^{150} and R^{151} taken together with the nitrogen atom to which each is attached form a monocyclic heterocyclic ring having from 3 to 6 carbon atoms;

B is an unsaturated 4-atom linker having one nitrogen and three carbons;

M is

- a) H,
- 25 b) C_{1-8} alkyl,
- c) C_{3-8} cycloalkyl,
- d) $-(CH_2)_mOR_{13}$, or
- e) $-(CH_2)_h-NR_{21}R_{22}$;

Z is

- a) O,
- 30 b) S, or
- c) NM;

W is

- a) CH,

- b) N, or
c) S or O when Z is NM;

Y is

- a) H,
b) F,
c) Cl,
d) Br,
e) C₁₋₃ alkyl, or
f) NO₂;

X is

- a) H,
b) -CN,
c) OR₂₇,
d) halo,
e) NO₂,
f) tetrazoyl,
g) -SH,
h) -S(=O)_iR₄,
i) -S(=O)₂-N=S(O)_jR₅R₆,
j) -SC(=O)R₇,
k) -C(=O)R₂₅,
l) -C(=O)NR₂₇R₂₈,
m) -C(=NR₂₉)R₂₅,
n) -C(R₂₅)(R₂₈)-OR₁₃,
o) -C(R₂₅)(R₂₈)-OC(=O)R₁₃,
p) -C(R₂₈)(OR₁₃)-(CH₂)_h-NR₂₇R₂₈,
q) -NR₂₇R₂₈,
r) -N(R₂₇)C(=O)R₇,
s) -N(R₂₇)-S(=O)_iR₇,
t) -C(OR₁₄)(OR₁₅)R₂₈,
u) -C(R₂₅)(R₁₆)-NR₂₇R₂₆, or
v) C₁₋₈ alkyl substituted with one or more halos, OH, =O other than at alpha position, -S(=O)_iR₁₇, -NR₂₇R₂₈, C₂₋₅ alkenyl, C₂₋₅ alkynyl, or C₃₋₈ cycloalkyl;

R₄, R₅, R₆, R₇, R₁₃, R₁₄, R₁₅, R₁₆, and R₁₇ are the same as defined above;

R₂₅ is

- a) H,



- b) C_{1-8} alkyl optionally substituted with one or more halos, C_{3-8} cycloalkyl, C_{1-4} alkyl substituted with one or more of $-S(=O)_iR_{17}$, $-OR_{18}$, or $OC(=O)R_{18}$, $NR_{27}R_{28}$, or
- c) C_{2-5} alkenyl optionally substituted with CHO, or CO_2R_{13} ;

R_{26} is

- 5 a) R_{28} , or
- b) $NR_{27}R_{28}$;

R_{27} and R_{28} at each occurrence are the same or different and are

- a) H,
- b) C_{1-8} alkyl,
- 10 c) C_{3-8} cycloalkyl,
- d) $-(CH_2)_mOR_{13}$,
- e) $-(CH_2)_h-NR_{21}R_{22}$, or
- f) R_{27} and R_{28} taken together are $-(CH_2)_2O(CH_2)_2-$, $-(CH_2)_hCH(COR_7)-$, or $-(CH_2)_2N(CH_2)_2(R_7)$;

R_{29} is

- 15 a) $-NR_{27}R_{28}$,
- b) $-OR_{27}$, or
- c) $-NHC(=O)R_{28}$;

wherein R_{30} is

- a) H,
- 20 b) C_{1-8} alkyl optionally substituted with one or more halos, or
- c) C_{1-8} alkyl optionally substituted with one or more OH, or C_{1-6} alkoxy;

wherein E is

- a) NR_{39} ,
- b) $-S(=O)_i$, or
- c) O;

25 R_{38} is

- a) H,
- b) C_{1-6} alkyl,
- c) $-(CH_2)_q$ -aryl, or
- d) halo;

30 R_{39} is

- a) H,
- b) C_{1-6} alkyl optionally substituted with one or more OH, halo, or $-CN$,
- c) $-(CH_2)_q$ -aryl,
- d) $-CO_2R_{40}$,

- e) $-\text{COR}_{41}$,
- f) $-\text{C}(=\text{O})-(\text{CH}_2)_q-\text{C}(=\text{O})\text{R}_{40}$,
- g) $-\text{S}(=\text{O})_2-\text{C}_{1-6}$ alkyl,
- h) $-\text{S}(=\text{O})_2-(\text{CH}_2)_q$ -aryl, or
- i) $-(\text{C}=\text{O})_j$ -Het;

5 R_{40} is

- a) H,
- b) C_{1-6} alkyl optionally substituted with one or more OH, halo, or -CN,
- c) $-(\text{CH}_2)_q$ -aryl, or
- d) $-(\text{CH}_2)_q-\text{OR}_{42}$;

10 R_{41} is

- a) C_{1-6} alkyl optionally substituted with one or more OH, halo, or -CN,
- b) $-(\text{CH}_2)_q$ -aryl, or
- c) $-(\text{CH}_2)_q-\text{OR}_{42}$;

R_{42} is

- 15 a) H,
- b) C_{1-6} alkyl,
- c) $-(\text{CH}_2)_q$ -aryl, or
- d) $-\text{C}(=\text{O})-\text{C}_{1-6}$ alkyl;

aryl is

- 20 a) phenyl,
- b) pyridyl, or
- c) naphthyl; a to c optionally substituted with one or more halo, -CN, OH, SH, C_{1-6} alkyl, C_{1-6} alkoxy, or C_{1-6} alkylthio;

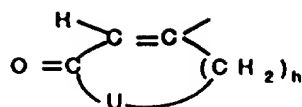
wherein R_{43} is

- 25 a) H,
- b) C_{1-2} alkyl,
- c) F, or
- d) OH;

R_{44} is

- 30 a) H,
- b) CF_3 ,
- c) C_{1-3} alkyl optionally substituted with one or more halo,
- d) phenyl optionally substituted with one or more halo,
- e) R_{44} and R_{45} taken together are a 5-, 6-, or 7-membered ring of the formula,

or



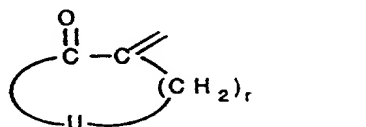
5

- f) R_{44} and R_{45} taken together are $-(CH_2)_k-$, when R_{46} is an electron-withdrawing group;

R_{45} and R_{46} at each occurrence are the same or different and are

- a) an electron-withdrawing group,
 b) H,
 10 c) CF_3 ,
 d) C_{1-3} alkyl optionally substituted with one halo,
 e) phenyl, provided at least one of R_{45} or R_{46} is an electron-withdrawing group, or
 f) R_{45} and R_{46} taken together are a 5-, 6-, 7-membered ring of the formula

15



U is

20

- a) CH_2 ,
 b) O,
 c) S, or
 d) NR_{47} ;

 R_{47} is

25

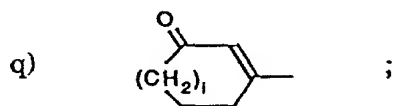
- a) H, or
 b) C_{1-5} alkyl;

wherein R_{48} is

30

- a) carboxyl,
 b) halo,
 c) $-CN$,
 d) mercapto,
 e) formyl,
 f) CF_3 ,
 g) $-NO_2$,

- h) C_{1-6} alkoxy,
 i) C_{1-6} alkoxycarbonyl,
 j) C_{1-6} alkythio,
 k) C_{1-6} acyl,
 l) $-NR_{49}R_{50}$,
 m) C_{1-6} alkyl optionally substituted with OH, C_{1-5} alkoxy, C_{1-5} acyl, or $-NR_{49}R_{50}$,
 n) C_{2-8} alkenylphenyl optionally substituted with one or two R_{51} ,
 o) phenyl optionally substituted with one or two R_{51} ,
 p) a 5-, or 6-membered (un)saturated heterocyclic moiety having one to three atoms selected from the group consisting of S, N, and O, optionally substituted with one or two R_{51} , or



15 R_{49} and R_{50} at each occurrence are the same or different and are

- a) H,
 b) C_{1-4} alkyl,
 c) C_{5-6} cycloalkyl, or
 d) R_{49} and R_{50} taken together with the nitrogen atom is a 5-, 6-membered saturated heterocyclic moiety which optionally has a further hetero atom selected from the group consisting of S, N, and O, and can in turn be optionally substituted with, including on the further nitrogen atom, C_{1-3} alkyl, or C_{1-3} acyl;

R_{51} is

- a) carboxyl,
 b) halo,
 c) $-CN$,
 d) mercapto,
 e) formyl,
 f) CF_3 ,
 g) $-NO_2$,
 h) C_{1-6} alkoxy,
 i) C_{1-6} alkoxycarbonyl,
 j) C_{1-6} alkythio,
 k) C_{1-6} acyl,

- l) C₁₋₆ alkyl optionally substituted with OH, C₁₋₆ alkoxy, C₁₋₆ acyl, or -NR₄₉R₅₀,
 m) phenyl,
 n) -C(=O)NR₅₂ R₅₃,
 5 o) -NR₄₉R₅₀,
 p) -N(R₅₂)(-SO₂R₅₄),
 q) -SO₂-NR₅₂R₅₃, or
 r) -S(=O)_iR₅₄;

R₅₂ and R₅₃ at each occurrence are the same or different and are

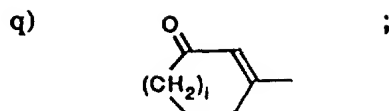
- a) H,
 10 b) C₁₋₆ alkyl, or
 c) phenyl;

R₆₄ is

- a) C₁₋₄ alkyl, or
 b) phenyl optionally substituted with C₁₋₄ alkyl;

15 wherein R₆₅ is

- a) carboxyl,
 b) halo,
 c) -CN,
 d) mercapto,
 e) formyl,
 20 f) CF₃,
 g) -NO₂,
 h) C₁₋₆ alkoxy,
 i) C₁₋₆ alkoxy carbonyl,
 j) C₁₋₆ alkythio
 25 k) C₁₋₆ acyl,
 l) -NR₆₆ R₆₇,
 m) C₁₋₆ alkyl optionally substituted with OH, C₁₋₅ alkoxy, C₁₋₅ acyl, or -NR₆₆R₆₇,
 n) C₂₋₈ alkenylphenyl optionally substituted with one or two R₆₈,
 o) phenyl optionally substituted with one or two R₆₈,
 30 p) a 5- or 6-membered (un)saturated heterocyclic moiety having one to three atoms selected from the group consisting of S, N, and O, optionally substituted with one or two R₆₈, or



R_{66} and R_{67} at each occurrence are the same or different and are

- 5 a) H,
 b) formyl,
 c) C_{1-4} alkyl,
 d) C_{1-4} acyl,
 e) phenyl,
 f) C_{3-6} cycloalkyl, or
 10 g) R_{66} and R_{67} taken together with the nitrogen atom is a 5-, 6-
 membered saturated heterocyclic moiety which optionally has a
 further hetero atom selected from the group consisting of S, N, and O,
 and can in turn be optionally substituted with, including on the
 further nitrogen atom, phenyl, pyrimidyl, C_{1-3} alkyl, or C_{1-3} acyl;

15 R_{58} is

- a) carboxyl,
 b) halo,
 c) -CN,
 d) mercapto,
 e) formyl,
 20 f) CF_3 ,
 g) $-NO_2$,
 h) C_{1-6} alkoxy,
 i) C_{1-6} alkoxy carbonyl,
 j) C_{1-6} alkythio,
 25 k) C_{1-6} acyl,
 l) phenyl,
 m) C_{1-6} alkyl optionally substituted with OH, azido, C_{1-5} alkoxy, C_{1-5}
 acyl, $-NR_{65}R_{66}$, $-SR_{67}$, $-O-SO_2R_{68}$, or



- n) $-C(=O)NR_{69}R_{60}$,
 o) $-NR_{66}R_{67}$,
 p) $-N(R_{69})(-SO_2R_{64})$,

- q) $-\text{SO}_2-\text{NR}_{69}\text{R}_{60}$,
- r) $-\text{S}(=\text{O})_i\text{R}_{64}$,
- s) $-\text{CH}=\text{N}-\text{R}_{61}$, or
- t) $-\text{CH}(\text{OH})-\text{SO}_3\text{R}_{64}$;

5 R_{64} is the same as defined above;

R_{59} and R_{60} at each occurrence are the same or different and are

- a) H,
- b) C_{1-6} alkyl,
- c) phenyl, or
- d) tolyl;

10 R_{61} is

- a) OH,
- b) benzyloxy,
- c) $-\text{NH}-\text{C}(=\text{O})-\text{NH}_2$,
- d) $-\text{NH}-\text{C}(=\text{S})-\text{NH}_2$, or
- 15 e) $-\text{NH}-\text{C}(=\text{NH})-\text{NR}_{62}\text{R}_{63}$;

R_{62} and R_{63} at each occurrence are the same or different and are

- a) H, or
- b) C_{1-4} alkyl optionally substituted with phenyl or pyridyl;

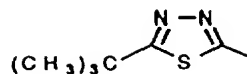
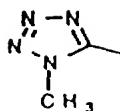
R_{64} is

- a) H, or
- 20 b) a sodium ion;

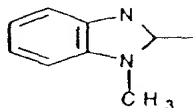
R_{65} and R_{66} at each occurrence are the same or different and are

- a) H,
- b) formyl,
- c) C_{1-4} alkyl,
- 25 d) C_{1-4} acyl,
- e) phenyl,
- f) C_{3-6} cycloalkyl,
- g) R_{65} and R_{66} taken together are a 5-, 6-membered saturated heterocyclic moiety having one to three atoms selected from the group consisting of S, N, and O, optionally substituted with, including on the nitrogen
- 30 atom, phenyl, pyrimidyl, C_{1-3} alkyl, or C_{1-3} acyl,
- h) $-\text{P}(\text{O})(\text{OR}_{70})(\text{OR}_{71})$, or
- i) $-\text{SO}_2-\text{R}_{72}$;

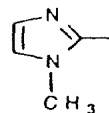
R_{67} is



5



or



10

R_{68} is C_{1-3} alkyl;

R_{69} is

- 15 a) C_{1-6} alkoxy carbonyl, or
 b) carboxyl;

R_{70} and R_{71} at each occurrence are the same or different and are

- a) H, or
 b) C_{1-3} alkyl;

20 R_{72} is

- a) methyl,
 b) phenyl, or
 c) tolyl;

wherein K is

- 25 a) O, or
 b) S;

R_{73} , R_{74} , R_{75} , R_{76} , and R_{77} at each occurrence are the same or different and are

- a) H,
 b) carboxyl,
 c) halo,
 30 d) -CN,
 e) mercapto,
 f) formyl,
 g) CF_3 ,

- h) $-\text{NO}_2$,
 i) C_{1-6} alkoxy,
 j) C_{1-6} alkoxy carbonyl,
 k) C_{1-6} alkythio,
 l) C_{1-6} acyl,
 m) $-\text{NR}_{78} \text{R}_{79}$,
 n) C_{1-6} alkyl optionally substituted with OH, C_{1-5} alkoxy, C_{1-5} acyl, $-\text{NR}_{78} \text{R}_{79}$, $-\text{N}(\text{phenyl})(\text{CH}_2-\text{CH}_2-\text{OH})$, $-\text{O}-\text{CH}(\text{CH}_3)(\text{OCH}_2\text{CH}_3)$, or $-\text{O}-\text{phenyl}-[\text{para}-\text{NHC}(=\text{O})\text{CH}_3]$,
 o) C_{2-8} alkenylphenyl optionally substituted with R_{61} ,
 p) phenyl optionally substituted with R_{61} , or
 q) a 5-, or 6-membered (un)saturated heterocyclic moiety having one to three atoms selected from the group consisting of S, N, and O, optionally substituted with R_{61} ;

R_{61} is the same as defined above;

R_{78} and R_{79} at each occurrence are the same or different and are

- a) H,
 b) C_{1-4} alkyl,
 c) phenyl, or
 d) R_{78} and R_{79} taken together with the nitrogen atom is a 5-, 6-membered saturated heterocyclic moiety which optionally has a further hetero atom selected from the group consisting of S, N, and O, and can in turn be optionally substituted with, including on the further nitrogen atom, C_{1-3} alkyl, or C_{1-3} acyl;

wherein T is

- a) O,
 b) S, or
 c) SO_2 ;

R_{75} , R_{76} , and R_{77} are the same as defined above;

R_{80} is

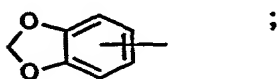
- a) H,
 b) formyl,
 c) carboxyl,
 d) C_{1-6} alkoxy carbonyl,
 e) C_{1-3} alkyl,
 f) C_{2-8} alkenyl,

wherein the substituents (e) and (f) can be optionally substituted with OH, halo, C_{1-6} alkoxy, C_{1-6} acyl, C_{1-6} alkylthio or C_{1-6} alkoxycarbonyl, or phenyl optionally substituted with halo,

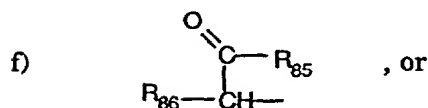
- g) an aromatic moiety having 6 to 10 carbon atoms optionally substituted with carboxyl, halo, -CN, formyl, CF_3 , $-NO_2$, C_{1-6} alkyl, C_{1-6} alkoxy, C_{1-6} acyl, C_{1-6} alkylthio, or C_{1-6} alkoxycarbonyl;
- h) $-NR_{81}R_{82}$,
- i) $-OR_{90}$,
- j) $-S(=O)_i-R_{91}$,
- k) $-SO_2-N(R_{92})(R_{93})$, or
- l) a radical of the following formulas:

R_{81} and R_{82} at each occurrence are the same or different and are

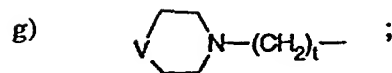
- a) H,
- b) C_{3-6} cycloalkyl,
- c) phenyl,
- d) C_{1-6} acyl,
- e) C_{1-8} alkyl optionally substituted with OH, C_{1-6} alkoxy which can be substituted with OH, a 5-, or 6-membered aromatic heterocyclic moiety having one to three atoms selected from the group consisting of S, N, and O, phenyl optionally substituted with OH, CF_3 , halo, $-NO_2$, C_{1-4} alkoxy, $-NR_{83}R_{84}$, or



25



30



V is

- a) O,

b) CH_2 , orc) NR_{87} ; R_{83} and R_{84} at each occurrence are the same or different and are

a) H, or

5 b) C_{1-4} alkyl; R_{85} is

a) OH,

b) C_{1-4} alkoxy, orc) $-\text{NR}_{88} \text{R}_{89}$; R_{86} is

10 a) H, or

b) C_{1-7} alkyl optionally substituted with indolyl, OH, mercaptyl, imidazolyl, methylthio, amino, phenyl optionally substituted with OH, $-\text{C}(=\text{O})-\text{NH}_2$, $-\text{CO}_2\text{H}$, or $-\text{C}(=\text{NH})-\text{NH}_2$;15 R_{87} is

a) H,

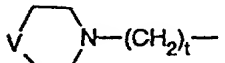
b) phenyl, or

c) C_{1-6} alkyl optionally substituted by OH; R_{88} and R_{89} at each occurrence are the same or different and are

a) H,

20 b) C_{1-5} alkylc) C_{3-6} cycloalkyl, or

d) phenyl;

 R_{90} is25 a) C_{1-8} alkyl optionally substituted with C_{1-6} alkoxy or C_{1-6} hydroxy, C_{3-6} cycloalkyl, a 6-membered aromatic optionally benzo-fused heterocyclic moiety having one to three nitrogen atoms, which can in turn be substituted with one or two $-\text{NO}_2$, CF_3 , halo, $-\text{CN}$, OH, C_{1-5} alkyl, C_{1-5} alkoxy, or C_{1-5} acyl;30 b)  ,

c) phenyl, or

d) pyridyl;

R₉₁ is

- a) C₁₋₁₆ alkyl,
- b) C₂₋₁₆ alkenyl,

wherein the substituents (a) and (b) can be optionally substituted with C₁₋₆ alkoxy carbonyl, or a 5-, 6-, 7-membered aromatic heterocyclic moiety having one to three atoms selected from the group consisting of S, N, and O,

- c) an aromatic moiety having 6 to 10 carbon atoms, or
 - d) a 5-, 6-, 7-membered aromatic heterocyclic moiety having one to three atoms selected from the group consisting of S, N, and O,
- wherein the substituents (c) and (d) can be optionally substituted with carboxyl, halo, -CN, formyl, CF₃, -NO₂, C₁₋₆ alkyl, C₁₋₆ alkoxy, C₁₋₆ acyl, C₁₋₆ alkylthio, or C₁₋₆ alkoxy carbonyl;

R₃₂ and R₃₃ at each occurrence are the same or different and are

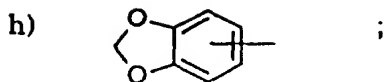
- a) H,
- b) phenyl,
- c) C₁₋₆ alkyl, or
- d) benzyl;

R₃₄ and R₃₅ at each occurrence are the same or different and are

- a) H,
- b) OH,
- c) C₁₋₆ alkyl optionally substituted with -NR₃₃ R₃₄, or
- d) R₃₄ and R₃₅ taken together are =O;

R₃₆ is

- a) an aromatic moiety having 6 to 10 carbon atoms,
 - b) a 5-, or 6-membered aromatic optionally benzo-fused heterocyclic moiety having one to three atoms selected from the group consisting of S, N, and O,
- wherein the substituents (a) and (b) which can in turn be substituted with one or three -NO₂, CF₃, halo, -CN, OH, phenyl, C₁₋₅ alkyl, C₁₋₅ alkoxy, or C₁₋₅ acyl,
- c) morpholinyl,
 - d) OH,
 - e) C₁₋₆ alkoxy,
 - f) -NR₃₃R₃₄,
 - g) -C(=O)-R₃₇, or



R₉₇ is

- 5 a) morpholinyl,
 b) OH, or
 c) C₁₋₆ alkoxy;

h is 1, 2, or 3;

i is 0, 1, or 2;

j is 0 or 1;

10 k is 3, 4, or 5;

l is 2 or 3;

m is 4 or 5;

n is 0, 1, 2, 3, 4, or 5;

p is 0, 1, 2, 3, 4, or 5; with the proviso that n and p together are 1, 2, 3, 4, or 5;

15 q is 1, 2, 3, or 4;

r is 2, 3, or 4;

t is 0, 1, 2, 3, 4, 5, or 6;

u is 1 or 2;

w is 0, 1, 2, or 3.

20 2. The method according to claim 1 wherein said mammal is a human.

3. The method according to claim 1 wherein the compound is administered in the range of about 0.1 to about 100 mg/kg of mammal body weight/day.

25 4. The method according to claim 1 wherein the compound is administered orally, nasally, parenterally, topically, transdermally, or rectally.

5. The method according to claim 1 wherein said compound is selected from the group consisting of :

30

(S)-trans-[[3-[3-Fluoro-4-(tetrahydro-1-oxido-2H-thiopyran-4-yl)phenyl]-2-oxo-5-oxazolidinyl)methyl]thiourea; and

(S)-N-[[3-[3-Fluoro-4-(4-thiomorpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]thio-
acetamide, thiomorpholine S-oxide; and

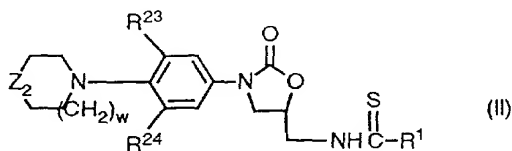
pharmaceutically acceptable salts thereof.

5

6. The method according to claim 1 wherein said mammal is not suffering from an
antibacterial infection.

10 7. A method of treating or preventing osteoporosis, bone resorption or other bone
disease in a vertebrate mammal, comprising the step of administering to a mammal
in need of such treatment, an effective amount of a compound of formula II

15



wherein Z_2 is $-O_2S-$, $-O-$, $-N(R^{107})-$, $-OS-$, or $-S-$;

w is 0, 1, 2, or 3;

20 R^{23} and R^{24} are the same or different and can be H or F; and

R^1 is H, NH_2 , $NHalkylC_1-C_4$; $N(alkylC_1-C_4)_2$; $-NCH_2Z_2S-$;

$alkylC_1-C_4$; $OalkylC_1-C_4$; $SalkylC_1-C_4$; $alkylC_1-C_4$ substituted with 1-3F, 1-2Cl,

25 CN, or $-COOalkylC_1-C_4$, or cycloalkyl C_3-C_6 , wherein in each
occurrence of the alkyl group may be straight or branched; and

R^{107} is

a) $R^{102}O-C(R^{110})(R^{111})-C(O)-$,

b) $R^{103}O-C(O)-$,

30 c) $R^{108}-C(O)-$,

d) $R^{109}-SO_2-$,

e) $NC-CH_2-$,

f) $FCHCH_2-$, or

g) $R^{150}R^{151}NSO_2$;

wherein R^{102} is H, CH_3 -, phenyl- CH_2 -, or $CH_3C(O)$; each of R^{110} and R^{111} is selected from H or CH_3 ; R^{103} is alkyl C_1 - C_3 or phenyl; R^{108} is H, alkyl C_1 - C_4 , aryl $(CH_2)_{0.5}$, $CNCH_2$ -, $ClCH_2$ -, Cl_2HC -, FH_2C -, F_2HC -, or cycloalkyl C_3 - C_6 ; R^{150} and R^{151} are the same or different and are selected from H, alkyl C_1 - C_4 , or R^{150} and R^{151} taken together with the nitrogen to which each is attached forms a monocyclic heterocyclic ring having from 3 to 6 carbon atoms.

8. The method according to claim 7 wherein said mammal is a human.
9. The method according to claim 7 wherein the compound is administered in the range of about 0.1 to about 100 mg/kg of mammal body weight/day.
10. The method according to claim 7 wherein the compound is administered orally, nasally, parenterally, topically, transdermally, or rectally.
11. The method according to claim 7 wherein said compound is selected from the group consisting of :
 - (S)-trans-[[3-[3-Fluoro-4-(tetrahydro-1-oxido-2H-thiopyran-4-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]thiourea; and
 - (S)-N-[[3-[3-Fluoro-4-(4-thiomorpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]thioacetamide, thiomorpholine S-oxide; and
 - pharmaceutically acceptable salts thereof.
12. The method according to claim 7 wherein said mammal is not suffering from an antibacterial infection.



- [illegible]